

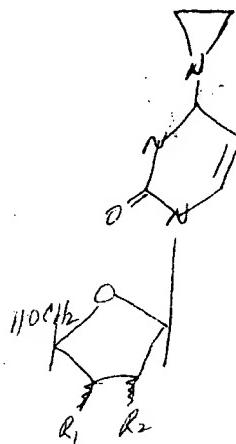
## SEARCH REQUEST FORM

Requestor's  
Name: Geoff L. Knaz  
Date: 7/26/93

Serial 77-32-26 P1 D: 34  
Number: US 5,23,978 F  
Phone: 308-4623 Art Unit: 1803

## Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



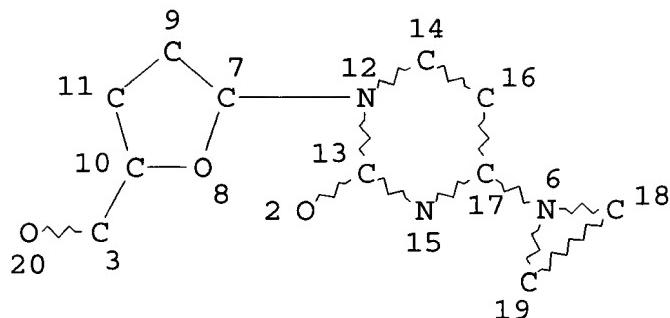
$$R_1 \text{ and } R_2 = H \text{ or OH}$$

## STAFF USE ONLY

Date completed: 7/26/93  
Searcher: Geoff L. Knaz  
Terminal time: \_\_\_\_\_  
Elapsed time: \_\_\_\_\_  
CPU time: \_\_\_\_\_  
Total time: \_\_\_\_\_  
Number of Searches: \_\_\_\_\_  
Number of Databases: \_\_\_\_\_

Search Site	Vendors
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<input checked="" type="checkbox"/> CM-1	<input type="checkbox"/> STN
<input type="checkbox"/> Pre-S	<input type="checkbox"/> Dialog
<b>Type of Search</b>	
<input type="checkbox"/> N.A. Sequence	<input type="checkbox"/> APS
<input type="checkbox"/> A.A. Sequence	<input type="checkbox"/> Geninfo
<input type="checkbox"/> Structure	<input type="checkbox"/> SDC
<input type="checkbox"/> Bibliographic	<input type="checkbox"/> DARC/Questel
	<input type="checkbox"/> Other

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L6 STR



NODE ATTRIBUTES: NONE

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

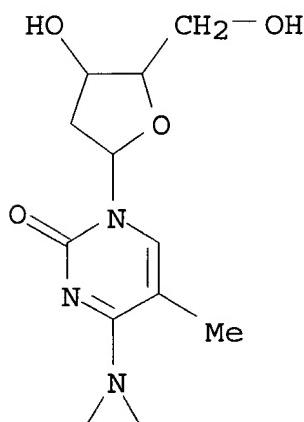
L9 6 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED 491 ITERATIONS  
SEARCH TIME: 00.00.06

6 ANSWERS

=> d 19 ide can 1-6

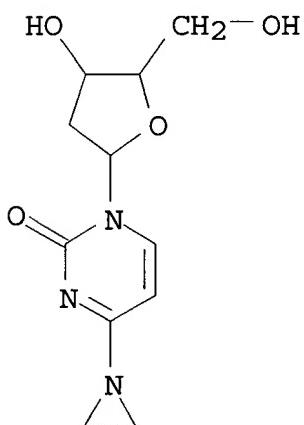
L9 ANSWER 1 OF 6 COPYRIGHT 1993 ACS  
RN 109389-28-8 REGISTRY  
CN 2(1H)-Pyrimidinone, 4-(1-aziridinyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)  
MF C12 H17 N3 O4  
SR CA  
LC CA  
DES 5:B-D-ERYTHRO



## 1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA107(15):134617t

L9 ANSWER 2 OF 6 COPYRIGHT 1993 ACS  
 RN 109389-27-7 REGISTRY  
 CN 2(1H)-Pirimidinone, 4-(1-aziridinyl)-1-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)  
 MF C11 H15 N3 O4  
 SR CA  
 LC CA  
 DES 5:B-D-ERYTHRO

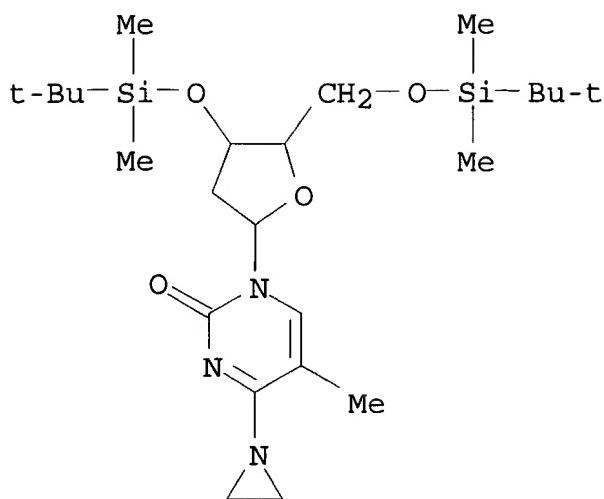


## 2 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA116(17):166275g

REFERENCE 2: CA107(15):134617t

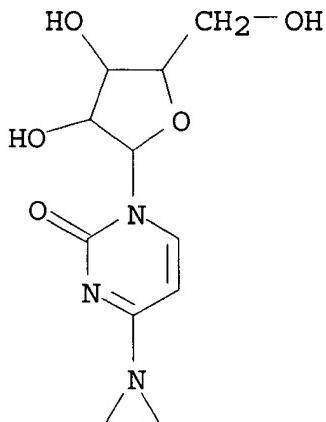
L9 ANSWER 3 OF 6 COPYRIGHT 1993 ACS  
 RN 109389-26-6 REGISTRY  
 CN 2(1H)-Pirimidinone, 4-(1-aziridinyl)-1-[2-deoxy-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-erythro-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
 MF C24 H45 N3 O4 Si2  
 SR CA  
 LC CA  
 DES 5:B-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA107(15):134617t

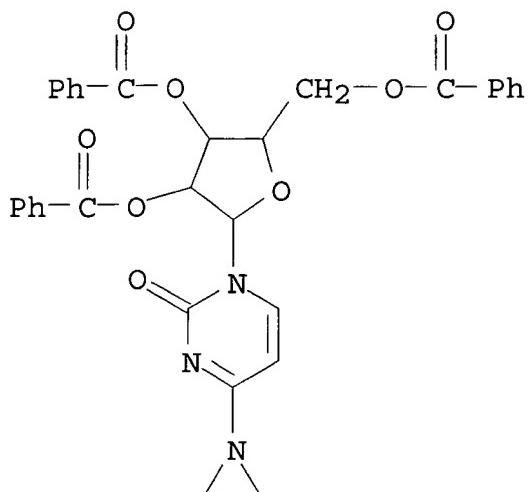
L9 ANSWER 4 OF 6 COPYRIGHT 1993 ACS  
 RN 91338-41-9 REGISTRY  
 CN 2(1H)-Pyrimidinone, 1-.beta.-D-arabinofuranosyl-4-(1-aziridinyl)-  
     (7CI) (CA INDEX NAME)  
 MF C11 H15 N3 O5  
 LC CAOLD  
 DES 5:B-D-ARABINO



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L9 ANSWER 5 OF 6 COPYRIGHT 1993 ACS  
 RN 62951-89-7 REGISTRY  
 CN 2(1H)-Pyrimidinone, 4-(1-aziridinyl)-1-(2,3,5-tri-O-benzoyl-.beta.-D-

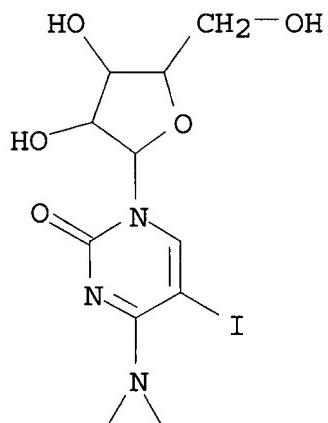
MF      ribofuranosyl) - (9CI)    (CA INDEX NAME)  
 MF      C32 H27 N3 O8  
 LC      CA  
 DES     5:B-D-RIBO



## 1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: CA86 (25):184707r

L9      ANSWER 6 OF 6 COPYRIGHT 1993 ACS  
 RN      25130-33-0 REGISTRY  
 CN      2(1H)-Pyrimidinone, 1-.beta.-D-arabinofuranosyl-4-(1-aziridinyl)-5-  
       iodo- (8CI)    (CA INDEX NAME)  
 MF      C11 H14 I N3 O5  
 LC      CA, IFICDB, IFIPAT, IFIUDB  
 DES     5:B-D-ARABINO



## 1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P CA71(11):50465s

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4 L9

0 L9/D

L10 4 L9 OR L9/D

=&gt; d bib abs hitrn 1-4

L10 ANSWER 1 OF 4 COPYRIGHT 1993 ACS

AN CA116(17):166275g

TI Sequence-specific nonphotoactivated crosslinking agents which bind to the major groove of duplex DNA, and their use as therapeutics

AU Matteucci, Mark D.; Krawczyk, Steven

CS Gilead Sciences, Inc.

LO USA

SO PCT Int. Appl., 39 pp.

PI WO 9118997 A1 12 Dec 1991

DS W: AU, CA, JP, KR

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

AI WO 91-US3680 24 May 1991

PRAI US 90-529346 25 May 1990

US 91-640654 14 Jan 1991

IC ICM C12P019-34

ICS C12Q001-00; C12Q001-64; G01N033-00; G01N033-564; G01N033-566

SC 1-12 (Pharmacology)

SX 6

DT P

CO PIXXD2

PY 1991

LA Eng

AN CA116(17):166275g

AB Agents which bind to the major groove of nucleic acid duplexes in a sequence-specific manner and are capable of forming covalent bonds with one or both strands of the duplex in the absence of light are useful therapeutic agents in the treatment of conditions mediated by duplex DNA. These agents are designed so that the reactivity of the crosslinking agent does not interfere with the sequence specificity of the agent which binds to the major groove. Thus, specific desired

DNA duplexes can be targeted and their activity diminished or enhanced. Oligonucleotides contg. N4,N4-ethanocytosine deoxynucleotide were prep'd. and tested for sequence-specific binding.

## IT 109389-27-7

(oligonucleotides contg., for binding to duplex DNA major groove and crosslinking, for therapeutic)

L10 ANSWER 2 OF 4 COPYRIGHT 1993 ACS  
 AN CA107(15):134617t  
 TI Hybridization triggered cross-linking of deoxyoligonucleotides  
 AU Webb, Thomas R.; Matteucci, Mark D.  
 CS Dep. Mol. Biol., Genentech, Inc.  
 LO San Francisco, CA 94080, USA  
 SO Nucleic Acids Res., 14(19), 7661-74  
 SC 33-10 (Carbohydrates)  
 SX 6  
 DT J  
 CO NARHAD  
 IS 0305-1048  
 PY 1986  
 LA Eng  
 AN CA107(15):134617t  
 AB Oligodeoxynucleotides contg. the modified base 5-methyl-N4,N4-ethanocytosine (Ce) were prep'd. on polymer support. The 9-fluorenylmethoxycarbonyl group was used as a protecting group for the exocyclic amines of dA and dC. This group can be removed rapidly under very mild conditions. Oligomers contg. the Ce base form a cross-link when hybridized to their complementary deoxyoligonucleotides. Some of the scope and limitations of these cross-link forming oligonucleotides are reported.

## IT 109389-26-6P 109389-27-7P 109389-28-8P

109389-32-4P 109389-33-5P 109420-85-1P 109420-86-2P  
 (prepn. of, for synthesis of oligodeoxynucleotides)

L10 ANSWER 3 OF 4 COPYRIGHT 1993 ACS  
 AN CA86(25):184707r  
 TI Synthesis of polynucleotides which contain 3,N4-ethanocytidine, a nucleoside modification resulting from the action of bis(chloroethyl)-nitrosourea  
 AU Murphy, Michael J.; Goldman, Edward J.; Ludlum, David B.  
 CS Sch. Med., Univ. Maryland  
 LO Baltimore, Md., USA  
 SO Biochim. Biophys. Acta, 475(3), 446-52  
 SC 6-2 (General Biochemistry)  
 SX 33, 1  
 DT J  
 CO BBACAQ  
 PY 1977  
 LA Eng  
 AN CA86(25):184707r

AB The nucleoside, 3,N4-ethanocytidine (I), presumably results from cyclization of 3-chloroethylcytidine formed initially by transfer of chloroethyl carbonium ions from N,N'-bis(2-chloroethyl)-N-nitrosourea to cytidine, which is widely used for the treatment of certain neoplastic diseases. To study the significance of this deriv., I was synthesized to the corresponding 5'-mono- and diphosphates. I diphosphate successfully converted to a high-mol.-wt. polymer.

IT 62951-89-7P

(prep. and rearrangement of)

L10 ANSWER 4 OF 4 COPYRIGHT 1993 ACS

AN CA71(11):50465s

TI 1-(.beta.-D-Arabinofuranosyl)-5-halocytosines

AU Hunter, James H.

CS Upjohn Co.

SO Fr., 12 pp.

PI FR 1513754 16 Feb 1968

PRAI US 24 Feb 1966

IC C07D; A61K

SC 33 (Carbohydrates)

DT P

CO FRXXAK

PY 1968

LA Fr

AN CA71(11):50465s

AB (.beta.-D-Arabinofuranosyl)cytosines (I) are prep'd. from N-halosuccinimides. Thus, a mixt. of 547 mg. 1-(.beta.-D-arabinofuranosyl)cytosine and 5 ml. HOAc is heated, 334 mg. N-chlorosuccinimide is added, and the mixt. is heated 2 hrs., cooled to 8.degree., and concd. at 50.degree.. The product is treated with 4 ml. N HOAc, the mixt. is filtered through Celite, and the filtrate chromatographed (Dowex 50W X2) to give 37.2 mg. 1-(.beta.-D-arabinofuranosyl)-5-chlorocytosine (II), m. 211-14.degree.; II [m. 212.5-14.5.degree., [.alpha.]23D 89.degree. (all in HCONMe<sub>2</sub>)] is also prep'd. from the HCl salt of the starting cytosine. Similarly prep'd. are the following I [R, R<sub>1</sub>, X, m.p., and [.alpha.]23D given]: H, H, Br, 195-5.8.degree., 60.degree.; H, H, iodine, 204-5.degree., 22.degree. [HCl salt m. 166-9.degree. (decompn.)]; (RR<sub>1</sub>N=) pyrrolidinyl, iodine, -, -; H, Me, iodine, -, -; and the following compds. (m.p. and [.alpha.]23D given): 5-chloro-1-(.beta.-D-ribofuranosyl)cytosine, 200-2.degree., -; 5-iodo-1-(.beta.-D-ribofuranosyl)cytosine, -, -; 5-iodo-1-(.beta.-D-lyxofuranosyl)cytosine, 196.5-7.5.degree., 9.degree.; 5-iodo-1-(.beta.-D-xylofuranosyl)cytosine, 205.8-6.2.degree., -18.degree. (0.1N HCl). Also prep'd., according to the known methods, are the following compds. (m.p. and [.alpha.]23D given): 1-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)-4-thiouracil, -, -; I (R = Me, R<sub>1</sub> = X = H), 257-60.degree., I (R = Me, R<sub>1</sub> = X = H)-HCl, 182.5-84.degree., 127.degree. (water).

IT 1147-23-5P 13491-42-4P 17676-65-2P 17676-66-3P 17676-67-4P

25130-27-2P 25130-28-3P 25130-29-4P 25130-30-7P 25130-31-8P  
25130-32-9P **25130-33-0P** 25159-19-7P  
(prepn. of)

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L11 1 L9

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L11 ANSWER 1 OF 1 COPYRIGHT 1993 ACS  
AN CA61:4468a  
DT P  
IT 13491-42-4 25130-27-2 25130-28-3 91338-41-9 95140-58-2  
96679-17-3 97834-40-7 97834-41-8 98178-53-1 98178-54-2  
98249-80-0 98249-82-2 99004-92-9